ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:902895 CAPLUS

DN 143:229860

TI Preparation of imidazopyridine derivatives for use in gastrointestinal disorders

IN Buhr, Wilm; Zimmermann, Peter Jan; Brehm, Christof; Palmer, Andreas; Kromer, Wolfgang; Postius, Stefan; Simon, Wolfgang-Alexander; Chiesa, M. Vittoria

PA Altana Pharma Ag, Germany

SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2005077949 | A1 | 20050825 | WO 2005-EP50667 | 20050216 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | |
|---|---|---|
| EP 2004-3467 | A | 20040217 |
| EP 2004-102627 | A | 20040609 |
| EP 2004-106802 | A | 20041221 |

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

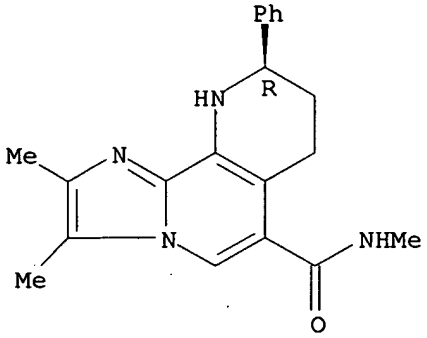
AB Title compds. I [R1 = H, hydroxyalkyl, cycloalkyl, etc.; R2 = H, halo, alkoxycarbonyl, etc.; R3 = halo, hydroxyalkyl, alkoxyalkyl, etc.; R4 is (CH2)CHCHR6 and R5 is NH2 or together they form substituted piperidine; R6 = substituted Ph, naphthyl, pyrrolyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as treatment of gastrointestinal disorders. Thus, e.g., II was prepared by amination of 2,3-dimethyl-8-phenyl-6,7,8,9-tetrahydro-1,3a-9-triazacyclopenta[a]-naphthalene-5-carboxylic acid (preparation given) with 2-methoxy-ethylamine. The gastric acid secretion-inhibiting ability of I was evaluated on the perfused rat stomach and it was revealed that selected compds. of the invention displayed inhibition of acid secretion >50% and other compds. <50%. I should prove useful in the treatment of gastrointestinal disorders. Pharmaceutical compns. comprising I are disclosed.

IT **862779-35-9P**
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of imidazopyridine derivs. for use in gastrointestinal disorders)

RN 862779-35-9 CAPLUS

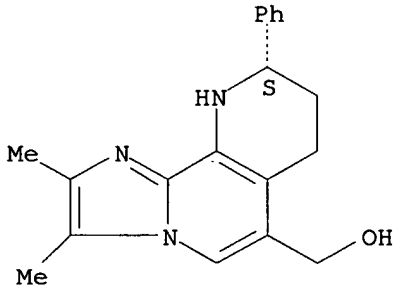
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (+).




IT **862779-62-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridine derivs. for use in gastrointestinal disorders)
RN 862779-62-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.




RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1059201 CAPLUS
DN 142:32977
TI Pharmaceutical combinations of a proton pump inhibitor and a compound which modifies gastrointestinal motility
IN Zimmermann, Peter Jan; Chiesa, M. Vittoria; Palmer, Andreas; Brehm, Christof; Klein, Thomas; Senn-Bilfinger, Joerg; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Grundler, Gerhard; Hanauer, Guido; Buhr, Wilm; Postius, Stefan
PA Altana Pharma A.-G., Germany
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004105795 | A1 | 20041209 | WO 2004-EP50936 | 20040526 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, | | | | |

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

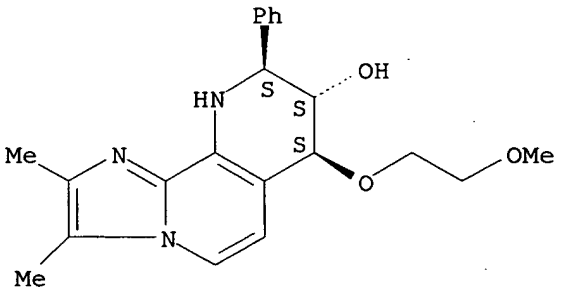
EP 2003-11875 A 20030527
EP 2004-102304 A 20040525

AB The invention relates to the combination of certain active compds. from the acid pump antagonist class and compds. which modify gastrointestinal motility. The acid pump antagonist class is selected from a tricyclic imidazopyridine and the gastrointestinal motility modifier is selected from a 5-HT-(partial)-agonist/antagonist.

IT **261944-49-4 267411-35-8 362524-94-5**
**362524-98-9 362525-15-3 362525-60-8**
**363599-21-7 363599-26-2 364041-26-9**
**500129-27-1 620631-22-3 805244-69-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical combinations of proton pump inhibitor and modifier of gastrointestinal motility)

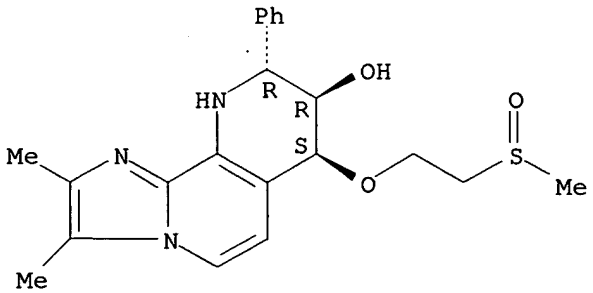
RN 261944-49-4 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, (7S,8S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



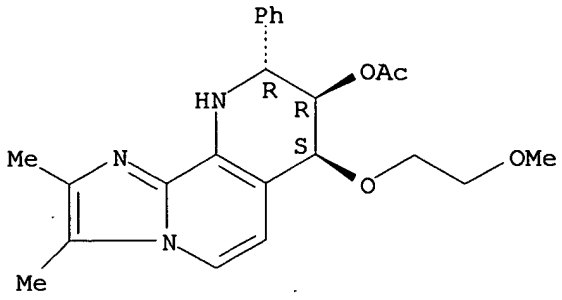

RN 267411-35-8 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-7-[2-(methylsulfinyl)ethoxy]-9-phenyl-, (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



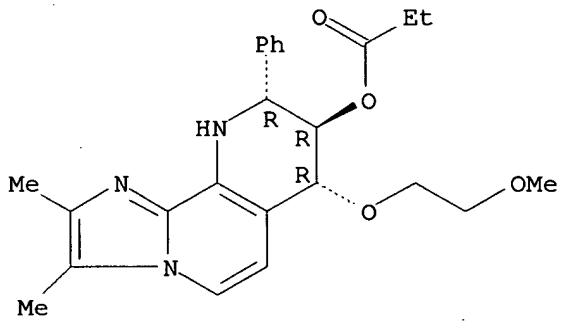

RN 362524-94-5 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, acetate (ester), (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



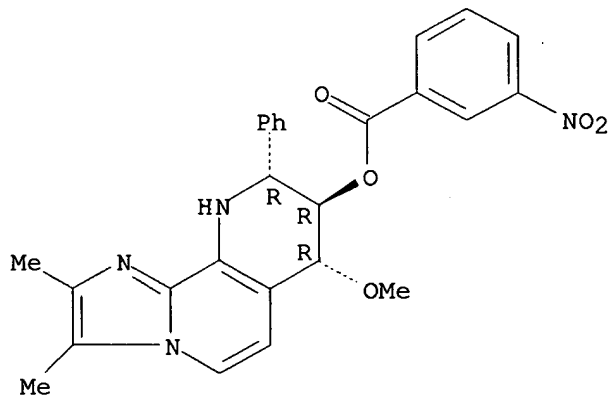

RN 362524-98-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, propanoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



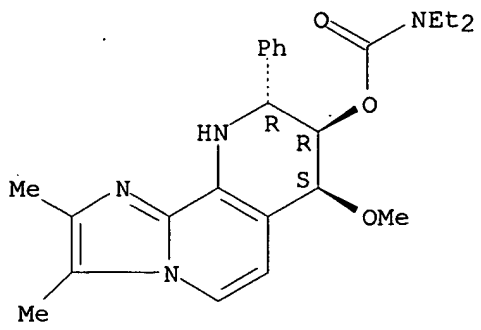

RN 362525-15-3 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenyl-, 3-nitrobenzoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



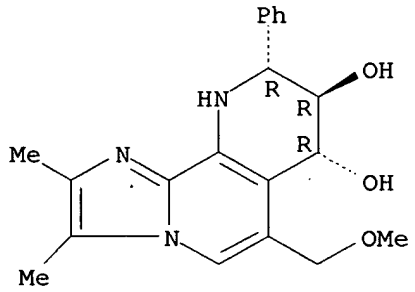

RN 362525-60-8 CAPLUS
CN Carbamic acid, diethyl-, (7S,8R,9R)-7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



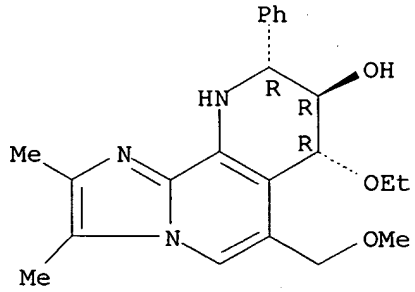

RN 363599-21-7 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



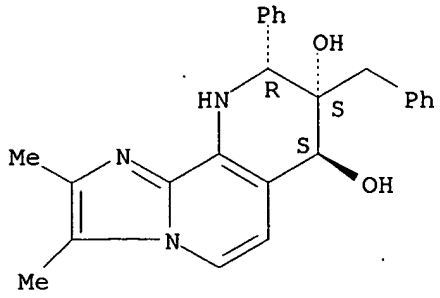

RN 363599-26-2 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-ethoxy-7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



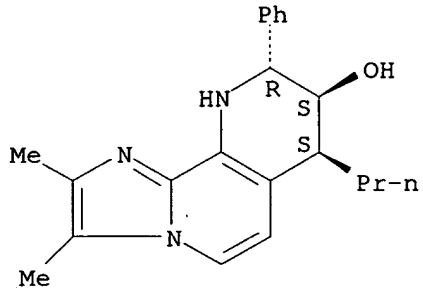

RN 364041-26-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-8-(phenylmethyl)-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



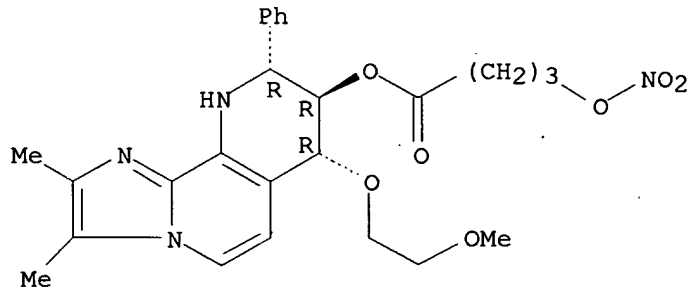

RN 500129-27-1 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-7-propyl-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



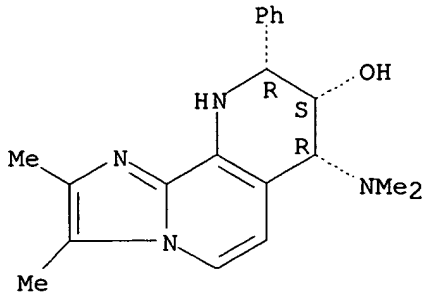

RN 620631-22-3 CAPLUS
CN Butanoic acid, 4-(nitrooxy)-, (7R,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 805244-69-3 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-(dimethylamino)-7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-, (7R,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

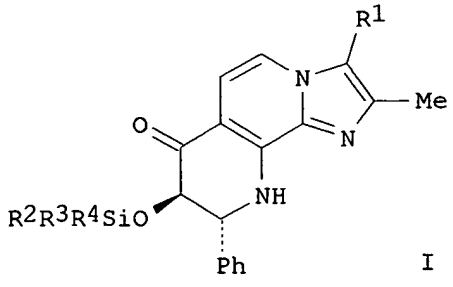



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

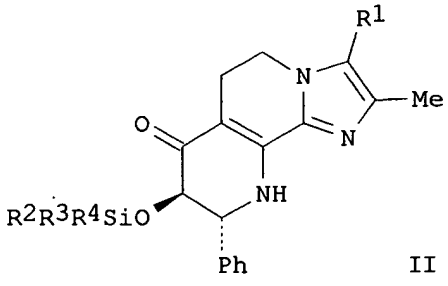
L3 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:857607 CAPLUS
DN 141:332317
TI Process for preparation of silyl ether-protected tricyclic imidazopyridin-8-ones by dehydrogenation of tetrahydro-triaza-cyclopenta[a]naphthalen-6-one derivatives with NBS
IN Alsters, Paulus Lambertus; Mink, Daniel
PA Altana Pharma Ag, Germany
SO PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004087718 | A1 | 20041014 | WO 2004-EP50414 | 20040401 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | EP 2003-7663 | A 20030403 |

OS CASREACT 141:332317; MARPAT 141:332317
GI




I




II

AB Tricyclic imidazopyridin-8-one derivs. 7-(trialkylsiloxy)-2-methyl-3-alkyl-

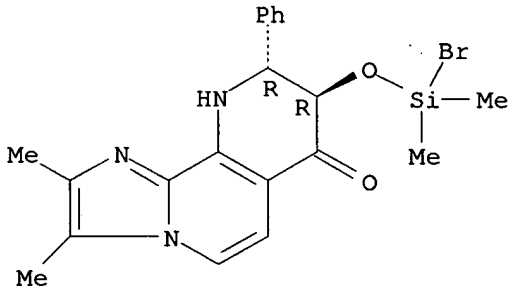
8-phenyl-8,9-dihydro-7H-1,3a,9-triazacyclopenta[a]naphthalen-6-ones (I; R1 = H, Me, HOCH2, preferably Me; R2 = C1-7 alkyl, preferably Br, Me3C; R3, R4 = C1-7 alkyl, preferably Me), useful as intermediates for production of medicaments for treating gastric and intestinal disorders (no data), by dehydrogenation of the corresponding 5,7,8,9-tetrahydro derivs. (II; same R1-R4) with NBS as oxidizing agent at -70 to 50°, preferably 0-30°, in an inert organic solvent, and subsequent removal of generated HBr with triethylamine. In an example, treating 59.1 mmol II [R1 = R3 = R4 = Me, R2 = Me3C; preparation given starting from (R,R)-phenylisoserine] with 1 equiv NBS in 100 mL MeCN, followed by treatment with 22.5 mL Et3N gave I (same R1-R4), which was deprotected with aqueous HCl.

IT **770719-65-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of silyl ether-protected tricyclic imidazopyridin-8-ones by dehydrogenation of tetrahydro-triaza-cyclopenta[a]naphthalen-6-one derivs. with NBS as oxidizing agent)

RN 770719-65-8 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-7(8H)-one, 8-[(bromodimethylsilyl)oxy]-9,10-dihydro-2,3-dimethyl-9-phenyl-, (8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:698112 CAPLUS

DN 141:200194

TI New combinations and new use of selected pharmaceutically active tricyclic imidazo[1,2-a]pyridine compounds for preventing or treating medicament-caused gastrointestinal diseases

IN Zimmermann, Peter Jan; Palmer, Andreas; Brehm, Christof; Klein, Thomas; Senn-Bilfinger, Joerg; Simon, Wolfgang-Alexander; Postius, Stefan; Chiesa, M. Vittoria; Buhr, Wilm; Kromer, Wolfgang

PA Altana Pharma Ag, Germany

SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004071391 | A2 | 20040826 | WO 2004-EP50138 | 20040216 |
| WO 2004071391 | A3 | 20050512 | | |

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,

LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

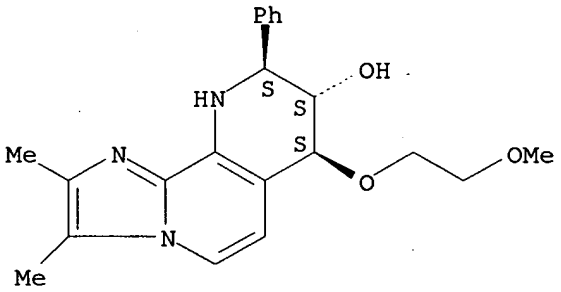
EP 2003-3530 A 20030217

AB The present invention relates to new combinations and new use of certain selected tricyclic imidazo[1,2-a]pyridine compds. in the prevention or treatment of medicament-caused gastrointestinal diseases. At 3.0 μmol/kg, (7R,8R,9R)-8-hydroxy-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine reduced gastric lesions induced by 100 mg/kg acetylsalicylic acid in rats.

IT **261944-49-4 267411-35-8 362524-94-5 362524-98-9 362525-15-3 362525-60-8 363599-21-7 363599-26-2 364041-26-9 620631-22-3**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new combinations and new use of selected pharmaceutically active tricyclic imidazo[1,2-a]pyridine compds. for preventing or treating medicament-caused gastrointestinal diseases)

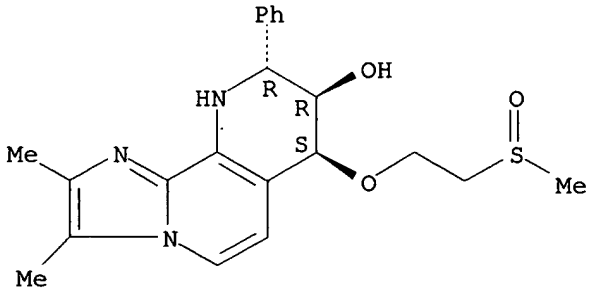
RN 261944-49-4 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, (7S,8S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 267411-35-8 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-7-[2-(methylsulfinyl)ethoxy]-9-phenyl-, (7S,8R,9R)- (9CI) (CA INDEX NAME)

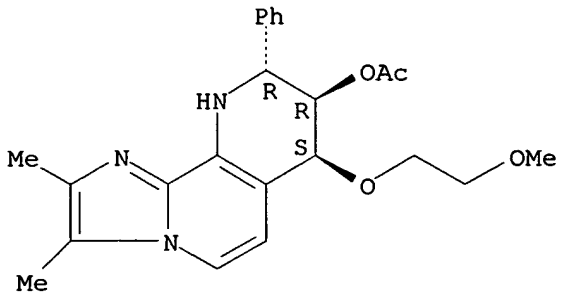
Absolute stereochemistry.




RN 362524-94-5 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, acetate (ester), (7S,8R,9R)- (9CI)

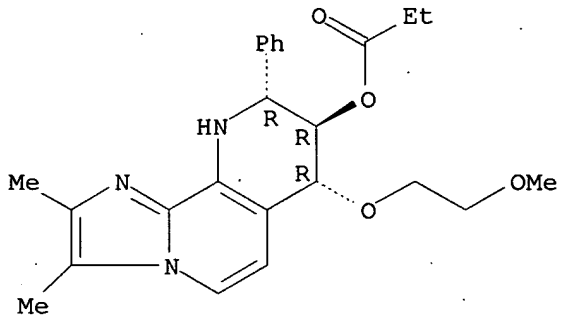
(CA INDEX NAME)

Absolute stereochemistry.



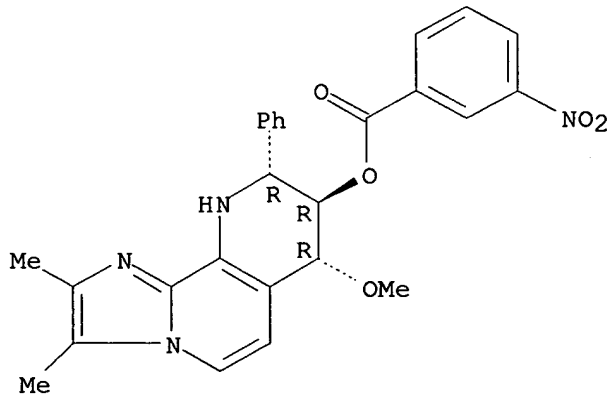

RN 362524-98-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, propanoate (ester), (7R,8R,9R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 362525-15-3 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenyl-, 3-nitrobenzoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

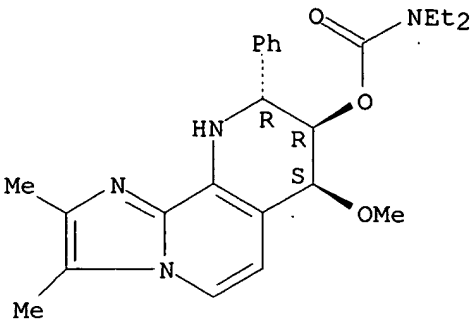
Absolute stereochemistry.




RN 362525-60-8 CAPLUS
CN Carbamic acid, diethyl-, (7S,8R,9R)-7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA

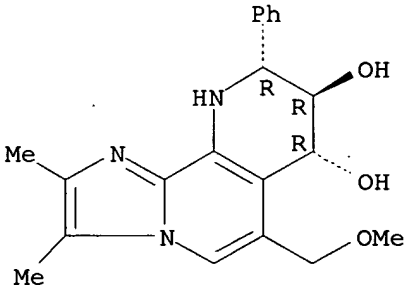
INDEX NAME)

Absolute stereochemistry.



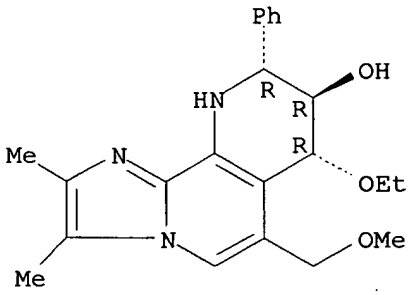

RN 363599-21-7 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



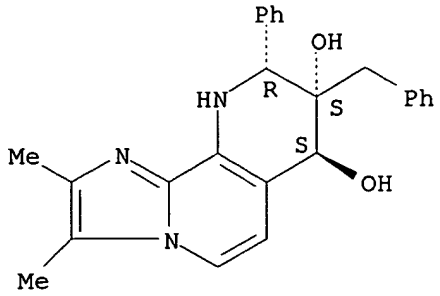

RN 363599-26-2 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-ethoxy-7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



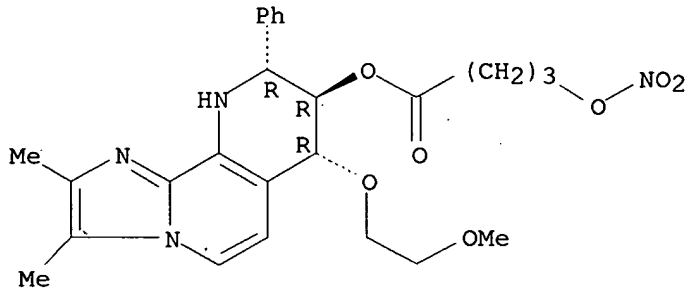

RN 364041-26-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-8-(phenylmethyl)-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 620631-22-3 CAPLUS
CN Butanoic acid, 4-(nitrooxy)-, (7R,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L3 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:875288 CAPLUS
DN 139:364931
TI Preparation of nitrosated tricyclic imidazopyridine derivatives as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents
IN Buhr, Wilm; Senn-Bilfinger, Joerg; Zimmermann, Peter Jan
PA Altana Pharma Ag, Germany
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

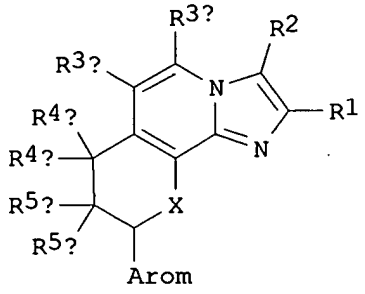
| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|---|
| PI | WO 2003091253 | A1 | 20031106 | WO 2003-EP4134 | | 20030422 |
| | W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW | | | | | |
| | RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR | | | | | |
| | | | | EP 2002-9104 | A | 20020424 |
| | CA 2484090 | AA | 20031106 | CA 2003-2484090 | | 20030422 |
| | | | | EP 2002-9104 | A | 20020424 |
| | | | | WO 2003-EP4134 | W | 20030422 |
| | BR 2003009462 | A | 20050209 | BR 2003-9462 | | 20030422 |
| | | | | EP 2002-9104 | A | 20020424 |
| | | | | WO 2003-EP4134 | W | 20030422 |
| | EP 1504003 | A1 | 20050209 | EP 2003-720509 | | 20030422 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | | |

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

| | | | | | |
|---|---|---|---|---|---|
| | | | EP 2002-9104 | A | 20020424 |
| | | | WO 2003-EP4134 | W | 20030422 |
| JP 2005524697 | T2 | 20050818 | JP 2003-587811 | | 20030422 |
| | | | EP 2002-9104 | A | 20020424 |
| | | | WO 2003-EP4134 | W | 20030422 |

OS MARPAT 139:364931

GI

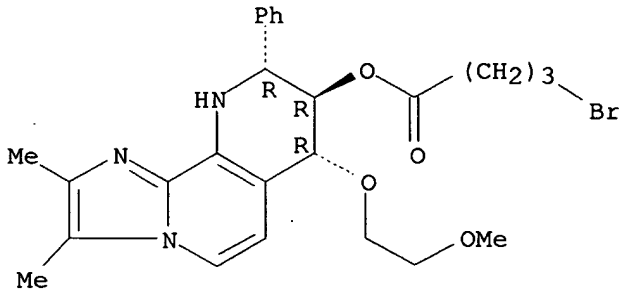



I

AB The invention relates to nitrosated tricyclic imidazopyridines (e.g. 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine) of formula (I) [R1 = H, C1-4 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxy-C1-4 alkyl, C1-4 alkoxycarbonyl, C2-4 alkenyl, C2-4 alkynyl, fluoro-C1-4 alkyl, hydroxy-C1-4 alkyl; R2 = H, C1-4 alkyl, aryl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C1-4 alkoxycarbonyl, hydroxy-C1-4 alkyl, halogen, C2-4 alkenyl, C2-4 alkynyl, fluoro-C1-4 alkyl, cyanomethyl, etc.; R3a, R3b = H, halogen, fluoro-C1-4 alkyl, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, CO2H, -CO-C1-4 alkoxy, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkoxy-C1-4 alkyl, fluoro-C1-4 alkoxy-C1-4 alkyl, (un)substituted CONH2; one of R4a and R4b or one of R5a and R5b = H, C1-7 alkyl, C2-7 alkenyl, Ph or phenyl-C1-4 alkyl and the other = HO, C1-4 alkoxy, oxo-substituted C1-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkyl-C1-4 alkoxy, hydroxy-C1-4 alkoxy, C1-4 alkoxy-C1-4 alkoxy, C1-4 alkoxy-C1-4 alkoxy-C1-4 alkoxy, C3-7 cycloalkoxy-C1-4 alkoxy, C3-7 cycloalkyl-C1-4 alkoxy-C1-4 alkoxy, C1-4 alkylcarbonyloxy, wholly or mainly halogen-substituted C1-4 alkoxy, etc. or in which R4a and R4b or R5a and R5b together are O (oxygen) or are C1-7 alkylidene; Arom = (un)substituted mono- or bicyclic aromatic radical; X = O or NH]. Also disclosed is the use of the compds. I for the prevention and treatment of gastrointestinal illnesses. These compds. are acid pump antagonists (APAs) with less side effects than known APAs and have an antibacterial activity against Helicobacter bacteria with less side effects than known compds. with such activity and NO (nitric oxide) releasing activity, in which the effect against Helicobacter bacteria is synergistically enhanced on account of the gastric acid inhibiting activity of these compds. They exhibit a marked inhibition of gastric secretion and an excellent gastric and intestinal protective action in warm-blooded animals, in particular humans. Due to gastric and intestinal protection, they are useful for the prevention and treatment of gastrointestinal diseases, in particular of gastrointestinal inflammatory diseases and lesions (e.g. gastric ulcer, peptic ulcer, including peptic ulcer bleeding, duodenal ulcer, gastritis, hyperacidic or medicament-related functional dyspepsia), which can be caused, for example, by microorganisms (e.g. Helicobacter pylori), bacterial toxins, medicaments (e.g. certain antiinflammatories and antirheumatics, such as NSAIDs and COX-inhibitors), chems. (e.g. ethanol), gastric acid or stress situations.

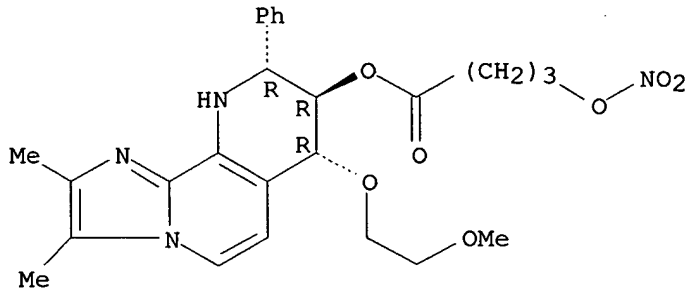
IT **620631-24-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
RN 620631-24-5 CAPLUS
CN Butanoic acid, 4-bromo-, (7R,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




IT **620631-22-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
RN 620631-22-3 CAPLUS
CN Butanoic acid, 4-(nitrooxy)-, (7R,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



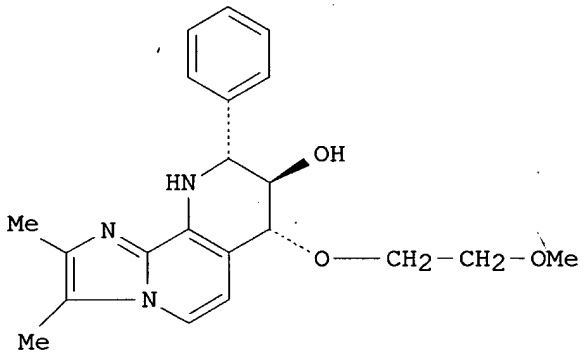

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:417606 CAPLUS
DN 139:946
TI Reversible proton pump inhibitors for the treatment of airway disorders
IN Senn-Bilfinger, Joerg; Kassel, Gerd; Hanauer, Guido; Buhr, Wilm; Simon, Wolfgang-Alexander
PA Altana Pharma A.-G., Germany
SO PCT Int. Appl., 18 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2003043614 | A2 | 20030530 | WO 2002-EP12864 | 20021116 |
| WO 2003043614 | A3 | 20040311 | | |
| W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, TN, UA, US, VN, YU, ZA, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR | | | | |
| | | | EP 2001-642 | A 20011119 |
| CA 2467652 | AA | 20030530 | CA 2002-2467652 | 20021116 |
| | | | EP 2001-642 | A 20011119 |
| | | | WO 2002-EP12864 | W 20021116 |
| EP 1453493 | A2 | 20040908 | EP 2002-779565 | 20021116 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| | | | EP 2001-642 | A 20011119 |
| | | | WO 2002-EP12864 | W 20021116 |
| US 2005020637 | A1 | 20050127 | US 2004-495804 | 20040517 |
| | | | EP 2001-642 | A 20011119 |
| | | | WO 2002-EP12864 | W 20021116 |

GI



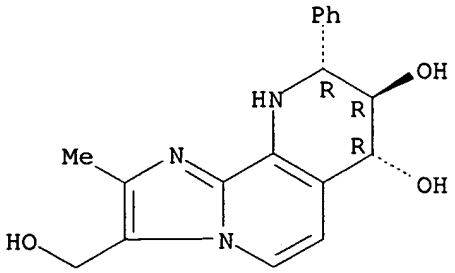

I

AB The invention relates to the use of reversible proton pump inhibitors such as I in the treatment of airway disorders.

IT **214194-04-4 261944-49-4 267411-35-8 362524-94-5 362524-98-9 362525-15-3 362525-60-8 363599-21-7 363599-26-2 364041-26-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(reversible proton pump inhibitors for the treatment of airway disorders)

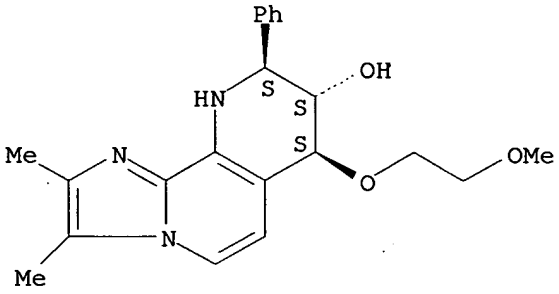
RN 214194-04-4 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-3-(hydroxymethyl)-2-methyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



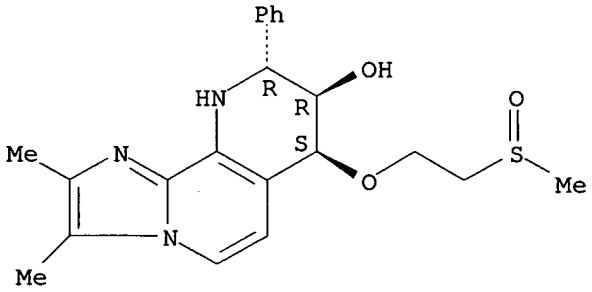

RN 261944-49-4 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, (7S,8S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



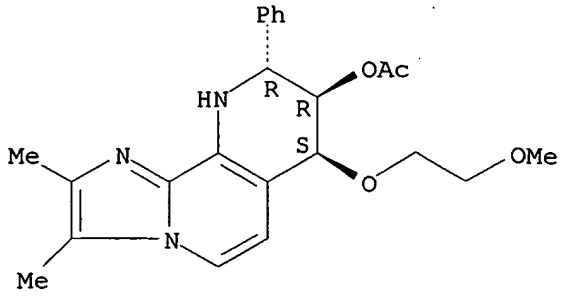

RN 267411-35-8 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-7-[2-(methylsulfinyl)ethoxy]-9-phenyl-, (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



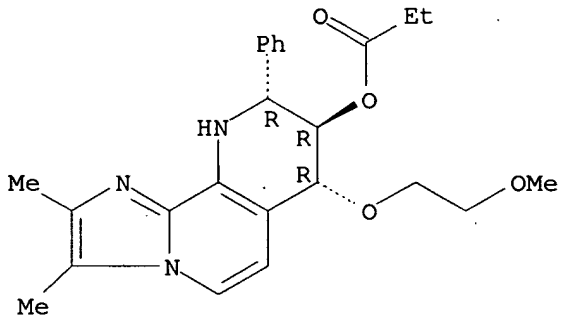

RN 362524-94-5 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, acetate (ester), (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



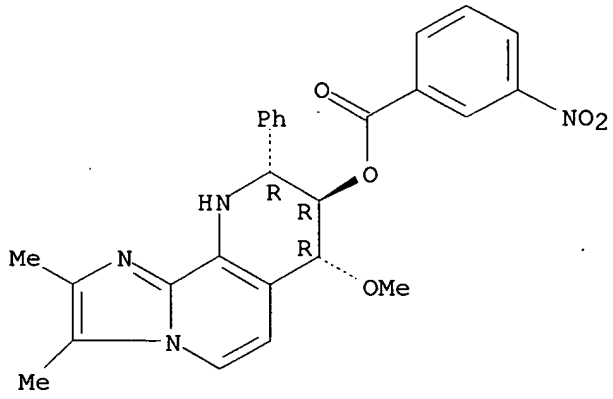

RN 362524-98-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, propanoate (ester), (7R,8R,9R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



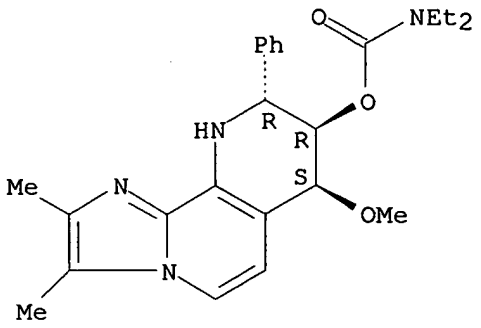

RN 362525-15-3 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenyl-, 3-nitrobenzoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



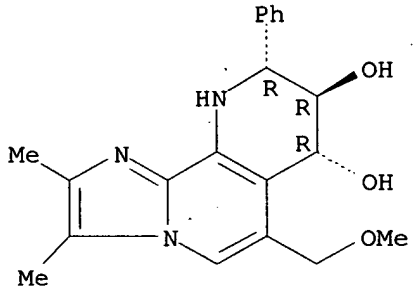

RN 362525-60-8 CAPLUS
CN Carbamic acid, diethyl-, (7S,8R,9R)-7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



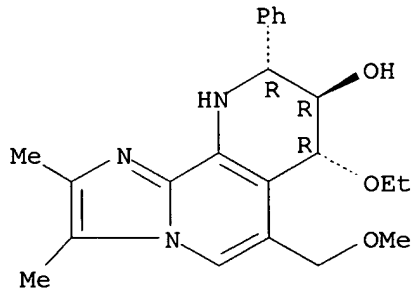

RN 363599-21-7 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



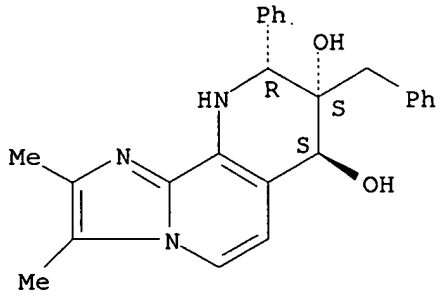

RN 363599-26-2 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-ethoxy-7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 364041-26-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-8-(phenylmethyl)-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

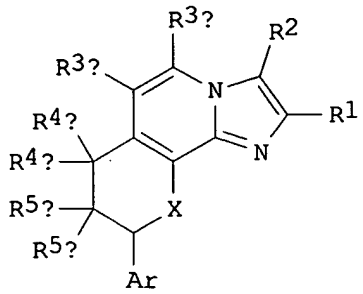
Absolute stereochemistry.



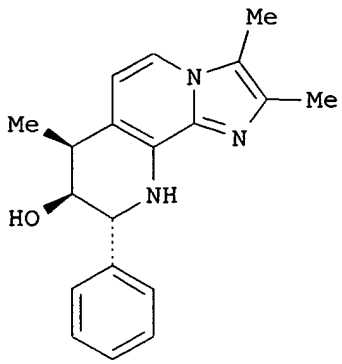

L3 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:154430 CAPLUS
DN 138:205058
TI Preparation of alkyl-substituted imidazonaphthyridines for the treatment of gastrointestinal disorders
IN Buhr, Wilm; Simon, Wolfgang-Alexander; Postius, Stefan; Kromer, Wolfgang; Sturm, Ernst; Senn-Bilfinger, Joerg; Zimmermann, Peter Jan
PA Altana Pharma AG, Germany
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2003016310 | A1 | 20030227 | WO 2002-EP8498 | 20020731 |
| W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR | | | | |
| | | | EP 2001-118674 A | 20010803 |
| CA 2452801 | AA | 20030227 | CA 2002-2452801 | 20020731 |
| | | | EP 2001-118674 A | 20010803 |
| | | | WO 2002-EP8498 W | 20020731 |
| EP 1419156 | A1 | 20040519 | EP 2002-764814 | 20020731 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| | | | EP 2001-118674 A | 20010803 |
| | | | WO 2002-EP8498 W | 20020731 |
| BR 2002011620 | A | 20040824 | BR 2002-11620 | 20020731 |
| | | | EP 2001-118674 A | 20010803 |
| | | | WO 2002-EP8498 W | 20020731 |
| JP 2005504048 | T2 | 20050210 | JP 2003-521233 | 20020731 |
| | | | EP 2001-118674 A | 20010803 |
| | | | WO 2002-EP8498 W | 20020731 |
| ZA 2004000676 | A | 20041015 | ZA 2004-676 | 20040128 |
| | | | EP 2001-118674 A | 20010803 |
| US 2004235883 | A1 | 20041125 | US 2004-485514 | 20040202 |
| | | | EP 2001-118674 A | 20010803 |
| | | | WO 2002-EP8498 W | 20020731 |

OS MARPAT 138:205058
GI




I




II

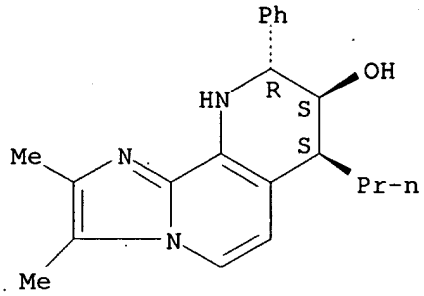
AB Title compds. I [wherein R1 = H, (fluoro)alkyl, cycloalkyl(alkyl), alkoxy(alkyl), alkoxycarbonyl, alkenyl, alkynyl, or hydroxyalkyl; R2 = H, (fluoro)alkyl, cycloalkyl(alkyl), alkoxycarbonyl, hydroxyalkyl, halo, alkenyl, alkynyl, or cyanomethyl; R3a and R3b = independently H, halo, (fluoro)alkyl, alkenyl, alkynyl, alkoxycarboxyl, alkoxycarbonyl, hydroxyalkyl, (alkoxy)alkoxyalkyl, fluoroalkoxyalkyl, or CONR31R32; R31 and R32 = independently H, (hydroxy)alkyl, or alkoxyalkyl; or NR31R32 = pyrrolidino, piperidino, or morpholino; one of R4a and R4b = H and the other = R41; R41 = (cyclo)alkyl, alkenyl, alkoxyalkyl, cyanoalkyl, or phenyl(alkyl); one of R5a and R5b = H and the other = OH, alkoxy, oxo-substituted (cyclo)alkoxy, cycloalkylalkoxy(alkoxy), (cyclo)alkoxyalkoxy, alkylcarbonyloxy, haloalkoxy, or R51; R51 = a radical that forms an OH group under physiol. conditions; Ar = (un)substituted Ph, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, indolyl, benzimidazolyl, (benzo)furyl, (benzo)thienyl, isoxazolyl, pyridinyl, pyrimidinyl, or (iso)quinolinyl; X = O or NH; and pharmaceutically acceptable salts and stereoisomers thereof] were prepared for preventing and treating gastrointestinal disorders. For example, acetylation of (8R,9R)-2,3-dimethyl-8-hydroxy-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1.7]naphthyridin-7-one, stereoselective reduction to the alc. using Na borohydride, epoxidn. using PBu3 and diisopropyl azodicarboxylate (92%), and methylation with MeMgBr in THF gave II (15%). The latter inhibited pentagastrin-stimulated acid secretion of the perfused rat stomach by 93% at a dose of 1 μmol/kg i.d.

IT **500129-27-1P**, (7S,8S,9R)-8-Hydroxy-2,3-dimethyl-7-propyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(gastrointestinal agent; preparation of alkyl-substituted imidazonaphthyridines for treatment of gastrointestinal disorders)

RN 500129-27-1 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-7-propyl-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

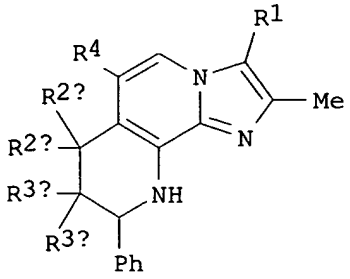
L3 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:730750 CAPLUS
DN 135:272964
TI Preparation of tricyclic imidazopyridines
IN Simon, Wolfgang-Alexander; Postius, Stefan; Kromer, Wolfgang; Senn-Bilfinger, Joerg; Buhr, Wilm; Huber, Reinhard; Sturm, Ernst
PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001072757 | A1 | 20011004 | WO 2001-EP3603 | 20010329 |
| | W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| | | | | EP 2000-106688 A | 20000329 |
| | | | | DE 2000-10026287 A | 20000526 |
| | | | | DE 2000-10039689 A | 20000814 |
| | CA 2404477 | AA | 20011004 | CA 2001-2404477 | 20010329 |
| | | | | EP 2000-106688 A | 20000329 |
| | | | | DE 2000-10026287 A | 20000526 |
| | | | | DE 2000-10039689 A | 20000814 |
| | | | | WO 2001-EP3603 W | 20010329 |
| | AU 2001054756 | A5 | 20011008 | AU 2001-54756 | 20010329 |
| | | | | EP 2000-106688 A | 20000329 |
| | | | | DE 2000-10026287 A | 20000526 |
| | | | | DE 2000-10039689 A | 20000814 |
| | | | | WO 2001-EP3603 W | 20010329 |
| | BR 2001009512 | A | 20021217 | BR 2001-9512 | 20010329 |
| | | | | EP 2000-106688 A | 20000329 |
| | | | | DE 2000-10026287 A | 20000526 |
| | | | | DE 2000-10039689 A | 20000814 |
| | | | | WO 2001-EP3603 W | 20010329 |
| | EP 1303519 | A1 | 20030423 | EP 2001-927836 | 20010329 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | | | | EP 2000-106688 A | 20000329 |
| | | | | DE 2000-10026287 A | 20000526 |
| | | | | DE 2000-10039689 A | 20000814 |
| | | | | WO 2001-EP3603 W | 20010329 |
| | JP 2003528879 | T2 | 20030930 | JP 2001-570666 | 20010329 |
| | | | | EP 2000-106688 A | 20000329 |

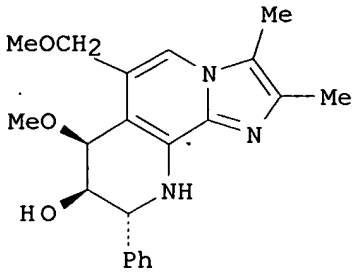
| | | | | | |
|---|---|---|---|---|---|
| | | | | DE 2000-10026287 | A 20000526 |
| | | | | DE 2000-10039689 | A 20000814 |
| | | | | WO 2001-EP3603 | W 20010329 |
| | ZA 2002007634 | A | 20040408 | ZA 2002-7634 | 20020923 |
| | | | | EP 2000-106688 | A 20000329 |
| | US 2003139412 | A1 | 20030724 | US 2002-182654 | 20021004 |
| | US 6696461 | B2 | 20040224 | | |
| | | | | EP 2000-106688 | A 20000329 |
| | | | | DE 2000-10026287 | A 20000526 |
| | | | | DE 2000-10039689 | A 20000814 |
| | | | | WO 2001-EP3603 | W 20010329 |

OS MARPAT 135:272964

GI




I




II

AB The title compds. I (R1 = Me, hydroxymethyl; one of R2a and R2b is H and the other is H, HO, methoxy, ethoxy, propoxy, isopropoxy, butoxy, methoxy, methoxypropoxy; one of R3a and R3b is H and the other is H, HO, methoxy, ethoxy, propoxy, isopropoxy, butoxy, methoxy, methoxypropoxy; R4 = H, carboxyl, alkoxycarbonyl, hydroxyalkyl, alkoxyalkoxyalkyl, fluoroalkoxyalkyl, carbamoyl; X = O, NH) were prepared for the prevention and treatment of gastrointestinal diseases. Thus, 6-(methoxymethyl)-2,2-dimethyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyridin-8-one, prepared in 5 steps from 2-amino-2,3-dimethylpyridine and 3-bromo-2-butanone, was cyclized with (2R,3R)-3-amino-2-(tert-butyldimethylsiloxy)-3-phenylpropionate ro give (8R,9R)-8-(tert-butyldimethylsiloxy)-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-5,6,7,8,9,10,-hexahydroimidazo[1,2-h][1,7]naphthyridin-7-one, which was converted to (7S,8R,9R)-8-hydroxy-7-methoxy-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine (II) in 4 steps. At 3 μmol/kg (i.v.) II inhibited pentagastrin stimulated acid secretion of the perfused rat stomach by 100%.

IT **363599-21-7P**

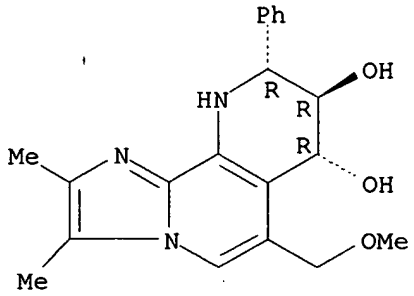
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic imidazopyridines for treatment of gastrointestinal diseases)

RN 363599-21-7 CAPLUS

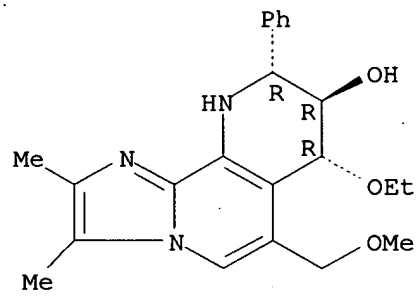
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




IT **363599-26-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic imidazopyridines for treatment of gastrointestinal diseases)
RN 363599-26-2 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-ethoxy-7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

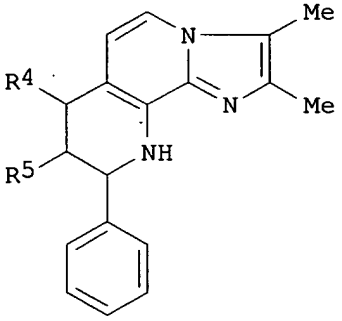
L3 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:730749 CAPLUS
DN 135:272986
TI Preparation of imidazopyridine prodrugs for prevention and treatment of gastrointestinal diseases
IN Simon, Wolfgang-Alexander; Postius, Stefan; Huber, Reinhard; Kromer, Wolfgang; Senn-Bilfinger, Joerg; Buhr, Wilm
PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001072756 | A1 | 20011004 | WO 2001-EP3514 | 20010328 |
| | W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| | | | | EP 2000-106695 A | 20000329 |
| | CA 2404474 | AA | 20011004 | CA 2001-2404474 | 20010328 |

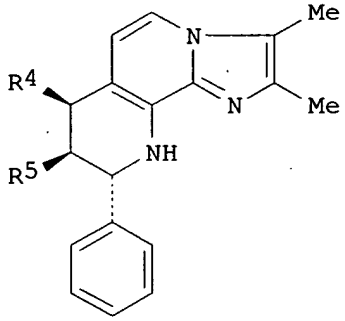
| | | | | |
|---|---|---|---|---|
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| AU 2001060166 | A5 | 20011008 | AU 2001-60166 | 20010328 |
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| EP 1313740 | A1 | 20030528 | EP 2001-933769 | 20010328 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| BR 2001009483 | A | 20030610 | BR 2001-9483 | 20010328 |
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| JP 2003528878 | T2 | 20030930 | JP 2001-570665 | 20010328 |
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| NZ 520837 | A | 20050128 | NZ 2001-520837 | 20010328 |
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| ZA 2002007637 | A | 20040408 | ZA 2002-7637 | 20020923 |
| | | | EP 2000-106695 | A 20000329 |
| NO 2002004662 | A | 20020927 | NO 2002-4662 | 20020927 |
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| US 2003125327 | A1 | 20030703 | US 2002-182619 | 20021001 |
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| US 2004198764 | A1 | 20041007 | US 2004-826337 | 20040419 |
| | | | EP 2000-106695 | A 20000329 |
| | | | WO 2001-EP3514 | W 20010328 |
| | | | US 2002-182619 | B1 20021001 |

OS MARPAT 135:272986

GI




I




II

AB Imidazopyridines, such as I [R4, R5 = OH, alkoxy, alkylcarbonyloxy, carbamoyloxy, alkyloxycarbonyloxy, etc.], were prepared for pharmaceutical use as prodrugs for the treatment of gastrointestinal disorders, such as gastrointestinal inflammatory diseases and lesions and gastric acid related diseases. Thus, imidazopyridine II [R4 = O(CH2)2OMe, R5 = COMe] was prepared via O-alkylation of the corresponding diol II (R4 = R5 = OH) with MeO(CH2)2OH followed by acetylation with acetic anhydride. The prepared imidazopyridines were tested for their inhibition of stomach acid secretion of perfused rat stomach stimulated by pentagastrin.

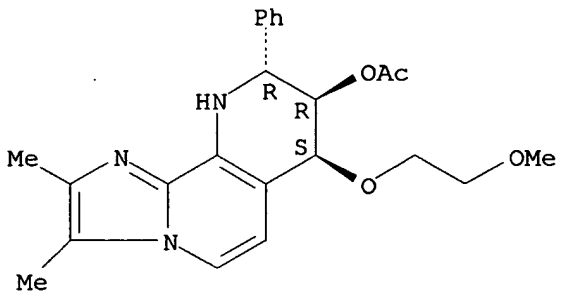
IT **362524-94-5P 362524-98-9P 362525-15-3P**
**362525-44-8P 362525-60-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridine prodrugs for prevention and treatment of gastrointestinal diseases)

RN 362524-94-5 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, acetate (ester), (7S,8R,9R)- (9CI) (CA INDEX NAME)

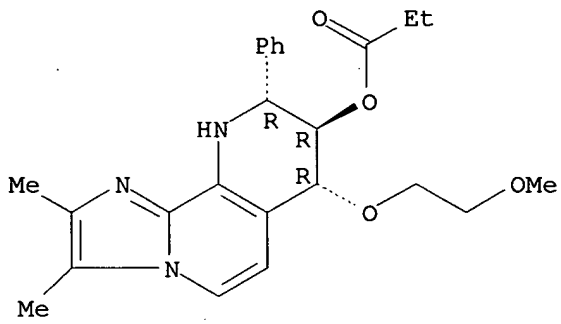
Absolute stereochemistry.




RN 362524-98-9 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, propanoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

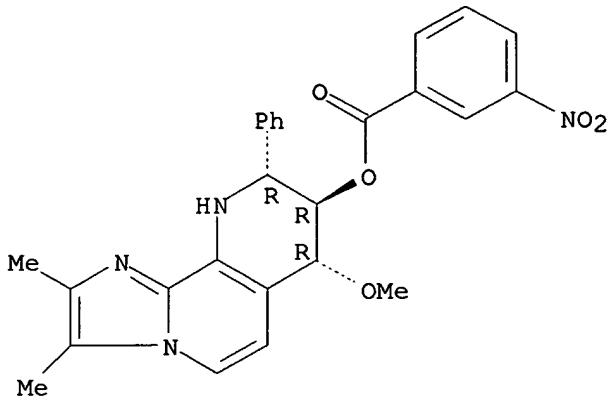
Absolute stereochemistry.




RN 362525-15-3 CAPLUS

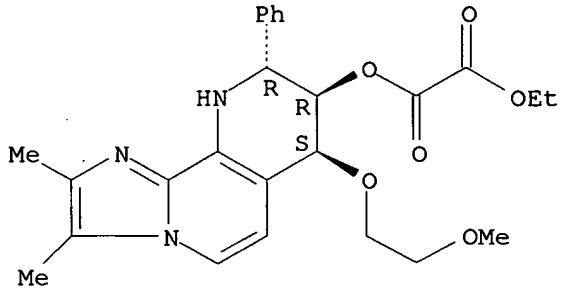
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenyl-, 3-nitrobenzoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



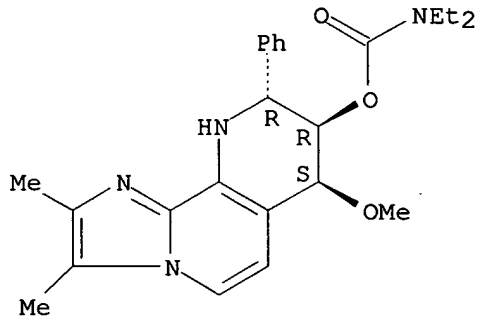
RN 362525-44-8 CAPLUS
CN Ethanedioic acid, ethyl (7S,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362525-60-8 CAPLUS
CN Carbamic acid, diethyl-, (7S,8R,9R)-7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



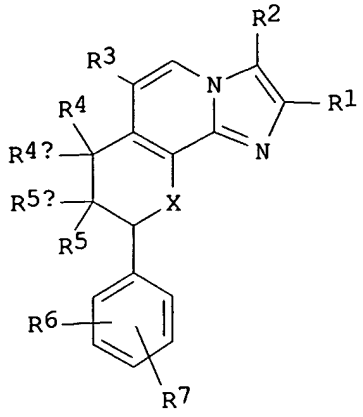
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:730747 CAPLUS
DN 135:272962
TI Preparation of alkylated imidazopyridine derivatives

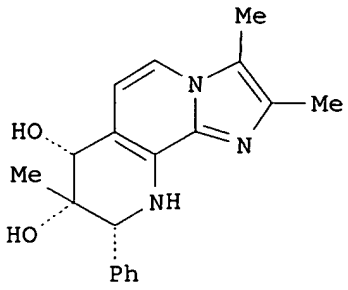
IN Postius, Stefan; Kromer, Wolfgang; Senn-Bilfinger, Joerg; Buhr, Wilm
PA BYK Gulden Lomberg Chemische Fabrik GmbH, Germany; Simon, Wolfgang-Alexander; Altana Pharma AG
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|---|
| PI | WO 2001072754 | A1 | 20011004 | WO 2001-EP3507 | | 20010328 |
| | WO 2001072754 | C1 | 20030213 | | | |
| | WO 2001072754 | C2 | 20040506 | | | |
| | W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW | | | | | |
| | RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | | |
| | | | | EP 2000-106696 | A | 20000329 |
| | CA 2404460 | AA | 20011004 | CA 2001-2404460 | | 20010328 |
| | | | | EP 2000-106696 | A | 20000329 |
| | | | | WO 2001-EP3507 | W | 20010328 |
| | AU 2001044225 | A5 | 20011008 | AU 2001-44225 | | 20010328 |
| | | | | EP 2000-106696 | A | 20000329 |
| | | | | WO 2001-EP3507 | W | 20010328 |
| | EP 1313739 | A1 | 20030528 | EP 2001-917121 | | 20010328 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| | | | | EP 2000-106696 | A | 20000329 |
| | | | | WO 2001-EP3507 | W | 20010328 |
| | BR 2001009542 | A | 20030610 | BR 2001-9542 | | 20010328 |
| | | | | EP 2000-106696 | A | 20000329 |
| | | | | WO 2001-EP3507 | W | 20010328 |
| | JP 2003528876 | T2 | 20030930 | JP 2001-570663 | | 20010328 |
| | | | | EP 2000-106696 | A | 20000329 |
| | | | | WO 2001-EP3507 | W | 20010328 |
| | NZ 520835 | A | 20040528 | NZ 2001-520835 | | 20010328 |
| | | | | EP 2000-106696 | A | 20000329 |
| | | | | WO 2001-EP3507 | W | 20010328 |
| | ZA 2002007636 | A | 20030404 | ZA 2002-7636 | | 20020923 |
| | | | | EP 2000-106696 | A | 20000329 |
| | NO 2002004597 | A | 20020925 | NO 2002-4597 | | 20020925 |
| | | | | EP 2000-106696 | A | 20000329 |
| | | | | WO 2001-EP3507 | W | 20010328 |
| | US 2003158193 | A1 | 20030821 | US 2002-240039 | | 20020927 |
| | US 6916825 | B2 | 20050712 | | | |
| | | | | EP 2000-106696 | A | 20000329 |
| | | | | WO 2001-EP3507 | W | 20010328 |

OS MARPAT 135:272962
GI



I



II

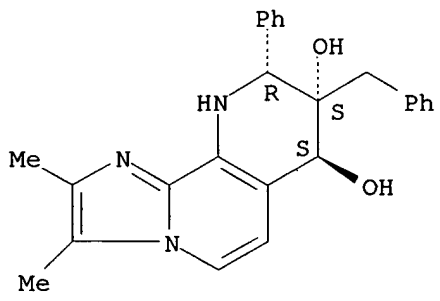
AB The title compds. I (R = H, alkyl, alkoxyalkyl, hydroxyalkyl; R2 = H, alkyl, hydroxyalkyl, halo, alkenyl, alkynyl; R3 = H, halo, F3C, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl carbamoyl; one of R4 and R4a is H, alkyl, alkenyl, Ph and the other is HO, alkoxy, alkoxyalkoxy, alkylcarbonyloxy, R4R4a = O, alkylidene; one of R5 and R5a is H, alkyl, alkenyl, Ph and the other is H, HO, alkoxy, alkoxyalkoxy, alkylcarbonyloxy, R5R5a = O, alkylidene; R6 = H, halo, alkyl, alkoxy, alkoxycarbonylamino, F3C; R7 = H, halo, alkyl, alkoxy; X = O, NH) were prepared for the prevention and treatment of gastrointestinal diseases. Thus, (8R9R)-2,3-dimethyl-8-hydroxy-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]napnthyridin-7-one was methylated with MeI followed by reduction with NaBH4 to give (7R,8R,9R)-2,3,8-trimethyl-7,8-dihydroxy-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]napnthyridine (II). At 1 μmol/kg (i.v.) II inhibited acid secretion of the perfused rat stomach stimulated pentagastrin by 100%.

IT **364041-26-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of alkylated imidazopyridine derivs.)

RN 364041-26-9 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-8-(phenylmethyl)-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:314698 CAPLUS
DN 132:334460
TI Preparation of imidazonaphthyridines for preventing and treating

gastrointestinal disorders

IN Grundler, Gerhard; Postius, Stefan; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Senn-Bilfinger, Jorg

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent

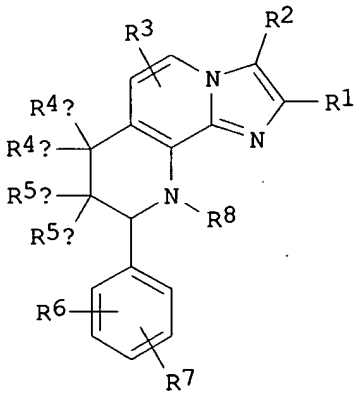
LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2000026217 | A1 | 20000511 | WO 1999-EP8227 | 19991029 |
| W: AE, AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | EP 1998-120834 A | 19981103 |
| CA 2349476 | AA | 20000511 | CA 1999-2349476 | 19991029 |
| | | | EP 1998-120834 A | 19981103 |
| | | | WO 1999-EP8227 W | 19991029 |
| EP 1127059 | A1 | 20010829 | EP 1999-953956 | 19991029 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | | | EP 1998-120834 A | 19981103 |
| | | | WO 1999-EP8227 W | 19991029 |
| JP 2002528548 | T2 | 20020903 | JP 2000-579605 | 19991029 |
| | | | EP 1998-120834 A | 19981103 |
| | | | WO 1999-EP8227 W | 19991029 |
| US 6384048 | B1 | 20020507 | US 2001-807970 | 20010427 |
| | | | EP 1998-120834 A | 19981103 |
| | | | WO 1999-EP8227 W | 19991029 |

OS MARPAT 132:334460

GI




I

AB The title compds. [I; R1 = alkyl; R2 = alkyl, hydroxyalkyl; R3 = H, halo; one of the substituents of R4a and R4b = H and the other = H, OH, alkoxy, etc.; R4a and R4b together = O; one of substituents R5a and R5b = H and the other = H, OH, alkoxy, etc.; R5a and R5b together = O; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, alkoxy; R8 = H, alkyl], suitable for preventing and treating gastrointestinal disorders, were prepared Thus, treatment of (7R,8R,9R)-7,8-dihydroxy-2,3-dimethyl-9-phenyl-7,8,9,10-

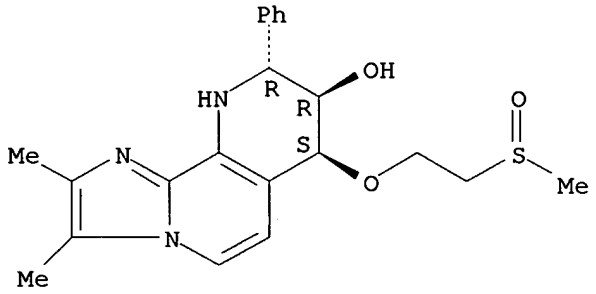
tetrahydroimidazo[1,2-h][1,7]naphthyridine, dissolved in dioxane and DMF, with concentrate H2SO4 and 2-methylmercaptoethanol afforded (7R,8R,9R)-I [R1, R2 = Me; R3 = H; R4a = O(CH2)2SMe; R4b = H; R5a = OH; R5b = H; R6-R8 = H] which showed 100% inhibition of acid secretion at 3 μM/kg (i.v.).

IT **267411-35-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazonaphthyridines for preventing and treating gastrointestinal disorders)

RN 267411-35-8 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-7-[2-(methylsulfinyl)ethoxy]-9-phenyl-, (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:210167 CAPLUS

DN 132:237093

TI Preparation of tetrahydropyridoethers for the prevention and treatment of gastrointestinal diseases

IN Postius, Stefan; Simon, Wolfgang-Alexander; Grundler, Gerhard; Hanauer, Guido; Huber, Reinhard; Kromer, Wolfgang; Sturm, Ernst; Senn-Bilfinger, Jorg

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

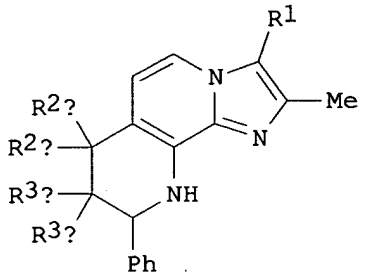
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2000017200 | A1 | 20000330 | WO 1999-EP6899 | 19990917 |
| W: AE, AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | | | DE 1998-19843504 A | 19980923 |
| | | | EP 1998-117988 A | 19980923 |
| CA 2344251 | AA | 20000330 | CA 1999-2344251 | 19990917 |
| | | | DE 1998-19843504 A | 19980923 |
| | | | EP 1998-117988 A | 19980923 |
| | | | WO 1999-EP6899 W | 19990917 |
| AU 9961920 | A1 | 20000410 | AU 1999-61920 | 19990917 |
| AU 763463 | B2 | 20030724 | | |

| | | | | | |
|---|---|---|---|---|---|
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| EP 1115725 | A1 | 20010718 | EP 1999-948776 | | 19990917 |
| EP 1115725 | B1 | 20030129 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| TR 200100805 | T2 | 20010821 | TR 2001-200100805 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| BR 9914044 | A | 20011204 | BR 1999-14044 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| EE 200100172 | A | 20020617 | EE 2001-172 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| JP 2002526499 | T2 | 20020820 | JP 2000-574109 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| AT 231862 | E | 20030215 | AT 1999-948776 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| PT 1115725 | T | 20030630 | PT 1999-948776 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| NZ 510610 | A | 20030725 | NZ 1999-510610 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| ES 2191464 | T3 | 20030901 | ES 1999-948776 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| CZ 292335 | B6 | 20030917 | CZ 2001-1082 | | 19990917 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| US 6436953 | B1 | 20020820 | US 2000-582212 | | 20000719 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| BG 105270 | A | 20011130 | BG 2001-105270 | | 20010219 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| NO 2001001243 | A | 20010312 | NO 2001-1243 | | 20010312 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| ZA 2001002107 | A | 20020502 | ZA 2001-2107 | | 20010314 |
| | | | DE 1998-19843504 | A | 19980923 |
| HR 2001000224 | A1 | 20020430 | HR 2001-224 | | 20010323 |
| | | | DE 1998-19843504 | A | 19980923 |
| | | | EP 1998-117988 | A | 19980923 |
| | | | WO 1999-EP6899 | W | 19990917 |
| HK 1038360 | A1 | 20030516 | HK 2002-100042 | | 20020103 |
| | | | DE 1998-19843504 | A | 19980923 |

| | | | | | |
|---|---|---|---|---|---|
| | | | | EP 1998-117988 | A 19980923 |
| | | | | WO 1999-EP6899 | W 19990917 |
| US 2002169320 | A1 | 20021114 | | US 2002-103733 | 20020325 |
| US 6696460 | B2 | 20040224 | | | |
| | | | | DE 1998-19843504 | A 19980923 |
| | | | | EP 1998-117988 | A 19980923 |
| | | | | WO 1999-EP6899 | W 19990917 |
| | | | | US 2000-582212 | A1 20000719 |
| US 2004162310 | A1 | 20040819 | | US 2004-783512 | 20040223 |
| | | | | DE 1998-19843504 | A 19980923 |
| | | | | EP 1998-117988 | A 19980923 |
| | | | | WO 1999-EP6899 | W 19990917 |
| | | | | US 2000-582212 | A1 20000719 |
| | | | | US 2002-103733 | A1 20020325 |

OS MARPAT 132:237093

GI




I

AB The title compds. [I; R1 = Me, CH2OH; one of the substituents R2a and R2b = H and the other = OH, OMe, OEt, etc.; one of the substituents R3a and R3b = H and the other = OH, OMe, OEt, etc., where R2a or R2b on the one hand and R3a or R3b on the other hand are not simultaneously OH], suitable for the prevention and treatment of gastrointestinal diseases, were prepared E.g., a synthesis of (7R,8R,9R)-I [R1 = Me; R2a = MeO; R2b = H; R3a = OH; R3b = H] by two different methods was presented. Gastric acid secretion inhibition data for compds. I was given.

IT **261944-49-4P**

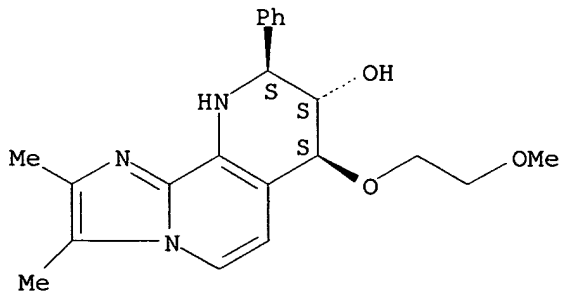
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydropyridoethers for the prevention and treatment of gastrointestinal diseases)

RN 261944-49-4 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, (7S,8S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:124269 CAPLUS
DN 132:137385
TI Preparation of imidazonaphthyridines for prevention and treatment of gastrointestinal disease.
IN Senn-Bilfinger, Joerg; Grundler, Gerhard; Simon, Wolfgang-Alexander; Postius, Stefan; Riedel, Richard
PA Byk Gulden Lomberg Chemische Fabrik GmbH, Germany
SO S. African, 39 pp.
CODEN: SFXXAB
DT Patent
LA English
FAN.CNT 2

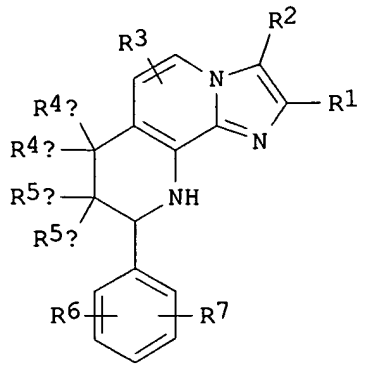
| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|---|
| PI | ZA 9802445 | A | 19980924 | ZA 1998-2445 | | 19980323 |
| | | | | DE 1997-19712322 | A | 19970324 |
| | TW 593320 | B | 20040621 | TW 1998-87104064 | | 19980319 |
| | | | | DE 1997-19712322 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | HR 980147 | B1 | 20020831 | HR 1998-980147 | | 19980320 |
| | | | | DE 1997-19712322 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |

PATENT FAMILY INFORMATION:
FAN 1998:672548

| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|---|
| PI | WO 9842707 | A1 | 19981001 | WO 1998-EP1615 | | 19980319 |
| | W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | | |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | CA 2284747 | AA | 19981001 | CA 1998-2284747 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | AU 9875208 | A1 | 19981020 | AU 1998-75208 | | 19980319 |
| | AU 740578 | B2 | 20011108 | | | |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | EP 971922 | A1 | 20000119 | EP 1998-922622 | | 19980319 |
| | EP 971922 | B1 | 20040428 | | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | BR 9807883 | A | 20000222 | BR 1998-7883 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | NZ 337325 | A | 20010629 | NZ 1998-337325 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |

| | | | | | | |
|---|---|---|---|---|---|---|
| | | | | WO | 1998-EP1615 | W 19980319 |
| | JP 2001518098 | T2 | 20011009 | JP | 1998-544424 | 19980319 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | | | | WO | 1998-EP1615 | W 19980319 |
| | EE 3771 | B1 | 20020617 | EE | 1999-450 | 19980319 |
| | EE 9900450 | A | 20000417 | | | |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | | | | WO | 1998-EP1615 | W 19980319 |
| | CZ 290548 | B6 | 20020814 | CZ | 1999-3397 | 19980319 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | SK 283288 | B6 | 20030502 | SK | 1999-1297 | 19980319 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | | | | WO | 1998-EP1615 | W 19980319 |
| | AT 265455 | E | 20040515 | AT | 1998-922622 | 19980319 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | | | | WO | 1998-EP1615 | W 19980319 |
| | TW 593320 | B | 20040621 | TW | 1998-87104064 | 19980319 |
| | | | | DE | 1997-19712322 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | CN 1508136 | A | 20040630 | CN | 2003-10101322 | 19980319 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | | | | CN | 1998-803636 | A 19980319 |
| | PT 971922 | T | 20040930 | PT | 1998-922622 | 19980319 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | ES 2219890 | T3 | 20041201 | ES | 1998-922622 | 19980319 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | HR 980147 | B1 | 20020831 | HR | 1998-980147 | 19980320 |
| | | | | DE | 1997-19712322 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | BG 64157 | B1 | 20040227 | BG | 1999-103696 | 19990830 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | | | | WO | 1998-EP1615 | W 19980319 |
| | NO 9904584 | A | 19991123 | NO | 1999-4584 | 19990921 |
| | NO 314084 | B1 | 20030127 | | | |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | | | | WO | 1998-EP1615 | W 19980319 |
| | US 6197783 | B1 | 20010306 | US | 1999-381617 | 19990924 |
| | | | | EP | 1997-104961 | A 19970324 |
| | | | | DE | 1997-19747929 | A 19971030 |
| | | | | WO | 1998-EP1615 | W 19980319 |

OS MARPAT 132:137385
GI




I

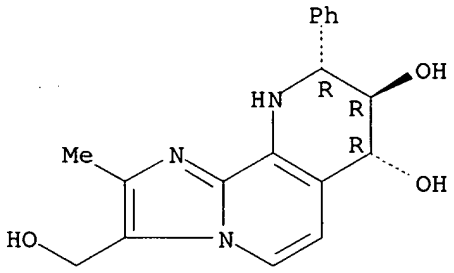
AB Title compds. (I; R1 = alkyl; R2 = alkyl, hydroxyalkyl; R3 = H, halo; 1 of R4a, R4b = H, the other = H, OH, alkoxy, alkoxyalkoxy, alkylcarbonyloxy; R4aR4b = O; 1 of R5a, R5b = H, the other = H, OH, alkoxy, alkoxyalkoxy, alkylcarbonyloxy; R5aR5b = O; 1 of R4a, R4b with 1 of R5a, R5b = OCH2O, OCH2CH2O, the others = H; R6 = H, halo, alkyl, alkoxy, alkoxycarbonylamino, alkoxyalkoxycarbonylamino, CF3; R7 = H, halo, alkyl, alkoxy), were prepared Thus, 2,3-dimethyl-7-(3-phenyl-1-oxo-2-propenyl)-8-pivaloylaminoimidazo[1,2-a]pyridine (preparation given) was refluxed with concentrate HCl in dioxane to give 2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridin-7-one. Several I at 3 μmol/kg i.v. in rats gave 100% inhibition of gastric acid secretion.

IT **214194-04-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazonaphthyridines for prevention and treatment of gastrointestinal disease)

RN 214194-04-4 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-3-(hydroxymethyl)-2-methyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L3 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:672548 CAPLUS

DN 129:290136

TI Preparation of 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridines for the prevention and treatment of gastrointestinal diseases

IN Simon, Wolfgang-Alexander; Postius, Stefan; Riedel, Richard; Senn-Bilfinger, Jorg; Grundler, Gerhard

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|---|
| PI | WO 9842707 | A1 | 19981001 | WO 1998-EP1615 | | 19980319 |
| | W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | | |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | CA 2284747 | AA | 19981001 | CA 1998-2284747 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | AU 9875208 | A1 | 19981020 | AU 1998-75208 | | 19980319 |
| | AU 740578 | B2 | 20011108 | | | |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | EP 971922 | A1 | 20000119 | EP 1998-922622 | | 19980319 |
| | EP 971922 | B1 | 20040428 | | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | BR 9807883 | A | 20000222 | BR 1998-7883 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | NZ 337325 | A | 20010629 | NZ 1998-337325 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | JP 2001518098 | T2 | 20011009 | JP 1998-544424 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | EE 3771 | B1 | 20020617 | EE 1999-450 | | 19980319 |
| | EE 9900450 | A | 20000417 | | | |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | CZ 290548 | B6 | 20020814 | CZ 1999-3397 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | SK 283288 | B6 | 20030502 | SK 1999-1297 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | AT 265455 | E | 20040515 | AT 1998-922622 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | TW 593320 | B | 20040621 | TW 1998-87104064 | | 19980319 |
| | | | | DE 1997-19712322 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |

| | | | | | | |
|---|---|---|---|---|---|---|
| | CN 1508136 | A | 20040630 | CN 2003-10101322 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | CN 1998-803636 | A | 19980319 |
| | PT 971922 | T | 20040930 | PT 1998-922622 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | ES 2219890 | T3 | 20041201 | ES 1998-922622 | | 19980319 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | HR 980147 | B1 | 20020831 | HR 1998-980147 | | 19980320 |
| | | | | DE 1997-19712322 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | BG 64157 | B1 | 20040227 | BG 1999-103696 | | 19990830 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | NO 9904584 | A | 19991123 | NO 1999-4584 | | 19990921 |
| | NO 314084 | B1 | 20030127 | | | |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |
| | US 6197783 | B1 | 20010306 | US 1999-381617 | | 19990924 |
| | | | | EP 1997-104961 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | | | | WO 1998-EP1615 | W | 19980319 |

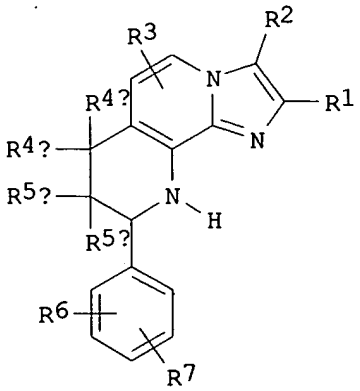
PATENT FAMILY INFORMATION:

FAN 2000:124269

| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|---|
| PI | ZA 9802445 | A | 19980924 | ZA 1998-2445 | | 19980323 |
| | | | | DE 1997-19712322 | A | 19970324 |
| | TW 593320 | B | 20040621 | TW 1998-87104064 | | 19980319 |
| | | | | DE 1997-19712322 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |
| | HR 980147 | B1 | 20020831 | HR 1998-980147 | | 19980320 |
| | | | | DE 1997-19712322 | A | 19970324 |
| | | | | DE 1997-19747929 | A | 19971030 |

OS MARPAT 129:290136

GI




I

AB The title compds. [I; R1 = C1-4 alkyl; R2 = C1-4 alkyl, hydroxy-C1-4 alkyl; R3 = H, halo; one of R4a and R4b = H and the other = H, OH, C1-4 alkoxy, etc.; R4aR4b = O; one of R5a and R5b = H and the other = H, OH,

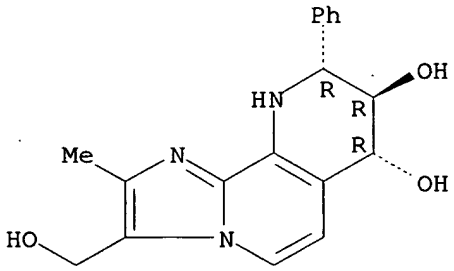
C1-4 alkoxy, etc.; R5aR5b = O; R6 = H, halo, C1-4 alkyl, etc.; R7 = H, halo, C1-4 alkyl, C1-4 alkoxy], useful in the prevention and treatment of gastrointestinal diseases, were prepared Thus, treatment of 2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridin-7-one (preparation described) with NaBH4 in MeOH afforded I [R1 = R2 = Me; R3, R4a, R5a, R5b, R6, R7 = H; R4b = OH] which showed 100% inhibition of acid secretion at 3 μM/kg.

IT **214194-04-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridines for the prevention and treatment of gastrointestinal diseases)

RN 214194-04-4 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-3-(hydroxymethyl)-2-methyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>